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                 has been enhanced and reloaded
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        OCT 30
NEWS
                 JAPIO enhanced with IPC 8 features and functionality
NEWS
        NOV 03
NEWS 6
        NOV 10
                 CA/CAplus · F-Term thesaurus enhanced
NEWS
        NOV 10
                 STN Express with Discover! free maintenance release Version
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    8
        NOV 20
                 CA/CAplus to MARPAT accession number crossover limit increased
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                 CAS REGISTRY updated with new ambiguity codes
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        DEC 11
                 CAS REGISTRY chemical nomenclature enhanced
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        DEC 14
                 WPIDS/WPINDEX/WPIX manual codes updated
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        DEC 14
                 GBFULL and FRFULL enhanced with IPC 8 features and
                 functionality
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        DEC 18
                 CA/CAplus pre-1967 chemical substance index entries enhanced
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NEWS 14
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        DEC 18
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                 to 50,000
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                 MEDLINE updated in preparation for 2007 reload
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NEWS 17
                 CA/CAplus enhanced with more pre-1907 records
NEWS 18
        JAN 08
                 CHEMLIST enhanced with New Zealand Inventory of Chemicals
NEWS 19
        JAN 16
                 CA/CAplus Company Name Thesaurus enhanced and reloaded
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        JAN 16
                 IPC version 2007.01 thesaurus available on STN
        JAN 16
NEWS 21
                WPIDS/WPINDEX/WPIX enhanced with IPC 8 reclassification data
        JAN 22
NEWS 22
                 CA/CAplus updated with revised CAS roles
NEWS 23
        JAN 22
                 CA/CAplus enhanced with patent applications from India
NEWS 24
        JAN 29
                 PHAR reloaded with new search and display fields
NEWS 25 JAN 29
                 CAS Registry Number crossover limit increased to 300,000 in
                 multiple databases
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NEWS EXPRESS NOVEMBER 10 CURRENT WINDOWS VERSION IS V8.01c, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 25 SEPTEMBER 2006.

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http://www.cas.org/ONLINE/UG/regprops.html

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chain nodes : 7 8 9 10 11 12 13 14 15 16 17 18 19 26 27 28 29 30 31 32 33 34 35 36 37 38 39 40 41 42 ring nodes : 1 2 3 4 5 6 20 21 22 23 24 25 chain bonds : 1-35 2-36 3-38 4-37 5-7 6-34 7-8 8-9 9-10 9-16 10-11 11-12 12-13 13-14 14-15 16-17 16-18 18-19 19-20 21-42 22-41 23-26 24-40 25-39 26-27 27-28 27-29 28-32 29-30 29-31 32-33 ring bonds : 1-2 1-6 2-3 3-4 4-5 5-6 20-21 20-25 21-22 22-23 23-24 24-25 exact/norm bonds : 9-16 16-17 19-20 27-28 29-30 29-31 1-35 2-36 3-38 4-37 5-7 6-34 7-8 8-9 9-10 10-11 11-12 12-13 13-14 14-15 16-18 18-19 21-42 22-41 23-26 24-40 25-39 26-27 27-29 28-32 32-33 normalized bonds : 1-2 1-6 2-3 3-4 4-5 5-6 20-21 20-25 21-22 22-23 23-24 24-25

## Match level:

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS 11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS 19:CLASS 20:Atom 21:Atom 22:Atom 23:Atom 24:Atom 25:Atom 26:CLASS 27:CLASS 28:CLASS 29:CLASS 30:CLASS 31:CLASS 32:CLASS 33:CLASS 34:CLASS 35:CLASS 36:CLASS 37:CLASS 38:CLASS 39:CLASS 40:CLASS 41:CLASS 42:CLASS

L1STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

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FULL SEARCH INITIATED 17:44:03 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED -101 TO ITERATE

100.0% PROCESSED 101 ITERATIONS 15 ANSWERS

SEARCH TIME: 00.00.01

1.2 15 SEA SSS FUL L1

=> d 12 scan

15 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN

Benzenepropanoic acid, α-ethoxy-4-[2-[hexyl(2-phenylethyl)amino]-2-IN oxoethoxy]-, ( $\alpha$ S)-, compd. with 2-methyl-2-propanamine (1:1) (9CI) C27 H37 N O5 . C4 H11 N

ME

CM 1

CM 2

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):5

L2 15 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN

IN Benzenepropanoic acid,  $\alpha$ -ethoxy-4-[2-[hexyl(2-phenylethyl)amino]-2-oxoethoxy]-, ( $\alpha$ S)-, compd. with N,N'-bis(phenylmethyl)-1,2-ethanediamine (1:1) (9CI)

MF C27 H37 N O5 . C16 H20 N2

CM 1

Absolute stereochemistry.

CM 2

Ph-CH2-NH-CH2-CH2-NH-CH2-Ph

L2 15 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN

IN Benzenepropanoic acid,  $\alpha$ -ethoxy-4-[2-[hexyl(2-phenylethyl)amino]-2-oxoethoxy]-, ( $\alpha$ S)-, compd. with 2-amino-2-(hydroxymethyl)-1,3-propanediol (1:1) (9CI)

MF C27 H37 N O5 . C4 H11 N O3

CM 1

CM 2

$$\begin{array}{c|c} & \text{NH}_2 \\ | & \\ \text{HO-CH}_2 - \text{C-CH}_2 - \text{OH} \\ | & \\ \text{CH}_2 - \text{OH} \end{array}$$

L2 15 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN

IN Benzenepropanoic acid,  $\alpha$ -ethoxy-4-[2-[hexyl(2-phenylethyl)amino]-2-oxoethoxy]-, calcium salt, ( $\alpha$ S)- (9CI)

MF C27 H37 N O5 . 1/2 Ca

Absolute stereochemistry.

●1/2 Ca

L2 15 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN

IN Ethanaminium, 2-hydroxy-N,N,N-trimethyl-, salt with  $(\alpha S)$ - $\alpha$ -ethoxy-4-[2-[hexyl(2-phenylethyl)amino]-2-oxoethoxy]benzenepropanoic acid (1:1) (9CI)

MF C27 H36 N O5 . C5 H14 N O

CM 1

 $Me_3+N-CH_2-CH_2-OH$ 

L2 15 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN

IN Benzenepropanoic acid,  $\alpha$ -ethoxy-4-[2-[hexyl(2-phenylethyl)amino]-2-oxoethoxy]-, ion(1-), ( $\alpha$ S)- (9CI)

MF C27 H36 N O5

CI COM

Absolute stereochemistry.

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

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SINCE FILE TOTAL

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FULL ESTIMATED COST

172.55 172.97

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=> s 12

L3 7 L2

=> d l3 ibib abs hitstr

L3 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

2007:61504 · CAPLUS

TITLE:

Preparation of phenylpropionic acid derivatives and

pharmaceutical compositions thereof

INVENTOR(S):

Bjoerk, Seth

PATENT ASSIGNEE(S):

Astrazeneca AB, Swed.

SOURCE:

GI

PCT Int. Appl., 57pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent English

LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE \_\_\_\_\_\_ WO 2007008156 Α1 20070118 WO 2006-SE864 20060710 AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM PRIORITY APPLN. INFO.: SE 2005-1644 20050711

AB The title phenylpropionic acid derivs. I [wherein n = 1-2; R1 = H, C1, CF3, or OCF3; R2 = H or F; R3 = alkyl] or tert-butylamine salts thereof were prepared as PPAR active compds. for treatment of metabolic syndrome including type 2 diabetes mellitus (no data). For example, II and II-tert-butylamine were prepared in a multi-step synthesis. Pharmaceutical compns. were described.

TT 549532-36-7P

> RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of phenylpropionic acid derivs. and pharmaceutical compns. thereof)

RN 549532-36-7 CAPLUS

CN Benzenepropanoic acid, α-ethoxy-4-[2-[hexyl(2-phenylethyl)amino]-2oxoethoxy]-, ethyl ester, (αS)- (9CI) (CA INDEX NAME)

IT 549532-35-6P 810676-90-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of phenylpropionic acid derivs. and pharmaceutical compns. thereof)

RN 549532-35-6 CAPLUS

CN Benzenepropanoic acid,  $\alpha$ -ethoxy-4-[2-[hexyl(2-phenylethyl)amino]-2-oxoethoxy]-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 810676-90-5 CAPLUS

CN Benzenepropanoic acid,  $\alpha$ -ethoxy-4-[2-[hexyl(2-phenylethyl)amino]-2-oxoethoxy]-,  $(\alpha S)$ -, compd. with 2-methyl-2-propanamine (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 549532-35-6 CMF C27 H37 N O5

Absolute stereochemistry.

CM 2

CRN 75-64-9 CMF C4 H11 N

REFERENCE COUNT:

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d l3 ibib abs hitstr 1-YOU HAVE REQUESTED DATA FROM 7 ANSWERS - CONTINUE? Y/(N):y

ANSWER 1 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN L3

5

ACCESSION NUMBER:

2007:61504 CAPLUS

TITLE:

Preparation of phenylpropionic acid derivatives and

pharmaceutical compositions thereof

INVENTOR(S):

Bjoerk, Seth

PATENT ASSIGNEE(S):

Astrazeneca AB, Swed.

SOURCE:

PCT Int. Appl., 57pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PAT	PATENT NO.					KIND DATE			APPLICATION NO.						DATE		
WO	O 2007008156				A1 20070118			0118	WO 2006-SE864						20060710		
	W:	ΑE,	AG,	AL,	AM,	AT,	ΑÚ,	AZ,	BA,	BB.,	BG,	BR,	BW,	BY,	ΒZ,	CA,	CH,
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
		GE,	GH,	GM,	HN,	HR,	HU,	ID,	IL,	IN,	ıs,	JP,	KE,	KG,	KM,	KN,	KΡ,
		KR,	KZ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,	MN,
		MW,	MX,	MZ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RS,	RU,
		SC,	SD,	SE,	SG,	SK,	SL,	SM,	SY,	ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,
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		IS,	IT,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,
		CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	ΤG,	BW,	GH,
		GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	ΤZ,	ŪĠ,	ZM,	ZW,	AM,	ΑZ,	BY,
		KG,	KZ,	MD,	RU,	TJ,	TM										
PRIORITY GI	APP	LN.	INFO	. :					:	SE 2	005-	1644		2	A 20	0050	711

AΒ The title phenylpropionic acid derivs. I [wherein n = 1-2; R1 = H, C1, CF3, or OCF3; R2 = H or F; R3 = alkyl] or tert-butylamine salts thereof were prepared as PPAR active compds. for treatment of metabolic syndrome including type 2 diabetes mellitus (no data). For example, II and II•tert-butylamine were prepared in a multi-step synthesis. Pharmaceutical compns. were described.

IT 549532-36-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of phenylpropionic acid derivs. and pharmaceutical compns. thereof)

RN 549532-36-7 CAPLUS

CN Benzenepropanoic acid,  $\alpha$ -ethoxy-4-[2-[hexyl(2-phenylethyl)amino]-2-oxoethoxy]-, ethyl ester, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 549532-35-6P 810676-90-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of phenylpropionic acid derivs. and pharmaceutical compns. thereof)

RN 549532-35-6 CAPLUS

CN Benzenepropanoic acid,  $\alpha$ -ethoxy-4-[2-[hexyl(2-phenylethyl)amino]-2-oxoethoxy]-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 810676-90-5 CAPLUS

CN Benzenepropanoic acid, α-ethoxy-4-[2-[hexyl(2-phenylethyl)amino]-2oxoethoxy]-, (αS)-, compd. with 2-methyl-2-propanamine (1:1) (9CI)
(CA INDEX NAME)

CM 1

CRN 549532-35-6 CMF C27 H37 N O5

CM 2

CRN 75-64-9 CMF C4 H11 N

REFERENCE COUNT:

5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

2006:605020 CAPLUS

DOCUMENT NUMBER: TITLE:

145:83115

Preparation of tris(hydroxymethyl) methylamine and ethanolamine salts of (2S)-2-ethoxy-3-(4-{2-[hexyl(2-phenylethyl)amino]-2-oxoethoxy}phenyl) propanoic acid

for treating lipid disorders

INVENTOR(S):

Booth, Rebecca J.; Dahlstroem, Mikael

PATENT ASSIGNEE(S):

SOURCE:

AstraZeneca AB, Swed. PCT Int. Appl., 39 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

GI

English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA.	PATENT NO.				KIND DATE			APPLICATION NO.						DATE			
WO	2006								WO 2005-SE1916								
	W :	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	ΒZ,	CA,	CH,
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		SG,	SK,	SL,	SM,	SY,	ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UΖ,	VC,
		VN,	YU,	ZA,	ZM,	ZW						•					
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		IS,	IT,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,
		CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,	TG,	BW,	GH,
		GM,	ΚE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	ŪĠ,	ZM,	ZW,	AM,	AZ,	BY,
		KG,	ΚZ,	MD,	RU,	ТJ,	TM										
PRIORITY	APP	LN.	INFO	. :					:	SE 2	004-	3072		1	A 2	0041	216

Ι

AB The invention relates to a compound selected from one or more of the following: a tris(hydroxymethyl)methylamine salt or an ethanolamine salt of title compound I or a pharmaceutical composition comprising the compound

Thus I was prepared in 4 steps from Et (S)-2-ethoxy-3-(4-hydroxyphenyl)propanoate, benzyl bromoacetate, and N-hexyl-2-phenylethylamine. X-ray powder diffration patterns for bot salts of I are given. Both salts have an EC50 of less than 0.5 µmol/l for PPARa.

IT 892402-12-9P 892402-13-0P

RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of crystalline tris(hydroxymethyl)methylamine and ethanolamine salts

of (2S)-2-ethoxy-3-[4-[2-[hexyl(2-phenylethyl)amino]-2-oxoethoxy]phenyl]propanoic acid for treating lipid disorders)

RN 892402-12-9 CAPLUS

CN Benzenepropanoic acid,  $\alpha$ -ethoxy-4-[2-[hexyl(2-phenylethyl)amino]-2-oxoethoxy]-, ( $\alpha$ S)-, compd. with 2-amino-2-(hydroxymethyl)-1,3-propanediol (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 549532-35-6 CMF C27 H37 N O5

Absolute stereochemistry.

CM 2

CRN 77-86-1 CMF C4 H11 N O3

$$\begin{array}{c} {\rm ^{NH}2} \\ | \\ {\rm ^{HO-}\,CH_2-C-CH_2-OH} \\ | \\ {\rm ^{CH}2-OH} \end{array}$$

RN 892402-13-0 CAPLUS

CN Benzenepropanoic acid,  $\alpha$ -ethoxy-4-[2-[hexyl(2-phenylethyl)amino]-2-oxoethoxy]-, ( $\alpha$ S)-, compd. with aminomethanol (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 549532-35-6 CMF C27 H37 N O5

Absolute stereochemistry.

CM 2

CRN 3088-27-5 CMF C H5 N O

 $H_2N-CH_2-OH$ 

IT 549532-35-6P 549532-36-7P

> RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of crystalline tris(hydroxymethyl) methylamine and ethanolamine

salts

of (2S)-2-ethoxy-3-[4-[2-[hexyl(2-phenylethyl)amino]-2-

oxoethoxy]phenyl]propanoic acid for treating lipid disorders)

RN 549532-35-6 CAPLUS

CNBenzenepropanoic acid, α-ethoxy-4-[2-[hexyl(2-phenylethyl)amino]-2-(CA INDEX NAME) oxoethoxy]-,  $(\alpha S)$ - (9CI)

Absolute stereochemistry.

RN549532-36-7 CAPLUS

CNBenzenepropanoic acid, α-ethoxy-4-[2-[hexyl(2-phenylethyl)amino]-2oxoethoxy]-, ethyl ester, (aS)- (9CI) (CA INDEX NAME)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN ACCESSION NUMBER: 2005:1335635 CAPLUS

DOCUMENT NUMBER: 144:69628

DUCUMENT NUMBER: 144:69628

TITLE: Preparation of phenoxyacetamide derivatives as modulators of peroxisome proliferator-activated

receptors (PPAR)

INVENTOR(S): Alstermark, Eva-Lotte Lindstedt; Olsson, Anna

Christina; Li, Lanna

PATENT ASSIGNEE(S): Swed.

SOURCE: U.S. Pat. Appl. Publ., 47 pp., Cont.-in-part of U.S.

Ser. No. 499,261. CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 5

PATENT INFORMATION:

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PATENT NO.
                    KIND
                           DATE
                                      APPLICATION NO.
                                                              DATE
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                                       -----
                           -----
                                      US 2004-26806
US 2005282822
                     A1
                           20051222
                                                              20041230
WO 2003051821
                    A1
                           20030626
                                      WO 2002-GB5738
                                                              20021218
        AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
        CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
        GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
        LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
        PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ,
        UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
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        KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
        FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ,
        CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
WO 2003051822
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OTHER SOURCE(S):

MARPAT 144:69628

The phenyl-, phenoxy-, or phenylthioalkanamidetitle compds., (in particular phenoxyacetamide derivs.) (I) [A is situated in the ortho, meta or para position and represents CR3R4CR1R2COR, CR3:CR1COR (wherein R = H, alkyl, (un)substituted HO or NH2; R1 = alkyl, aryl, alkenyl, alkynyl, or when A is CR3R4CR1R2COR, R1 can also be cyano, (un) substituted HO, SH, OCONH2, SO2NH2, CO2H, etc.; R2 = H, halogen, alkyl, aryl, alkylaryl; R3, R4 = H, alkyl, aryl, alkylaryl); Y = O, S, a single bond; n = an integer of 1-4; X = alkyl; R5, R6 = H, each (un) substituted C1-13 alkyl, C2-10 alkenyl, or C2-10 alkynyl; or R5, R6 = each (un)substituted C3-8 cycloalkyl, C3-C8 cycloalkenyl, aryl, heterocyclyl, or heteroaryl; or R5 and R6 together with the nitrogen atom to which they are attached form a single or a fused heterocyclic system] are prepared These compds. are useful in treating clin. conditions including lipid disorders (dyslipidemias) whether or not associated with insulin resistance, and other manifestations of the metabolic syndrome. Thus, a solution of 0.598 g N-butyl-N-[2-fluoro-4-(trifluoromethyl)benzyl]amine and 0.593 g [4-((2S)-2,3-diethoxy-3-oxopropyl)phenoxy]acetic acid in 20 mL CH2Cl2 was treated with 0.80 mL N, N-diisopropylethylamine and 0.674 g O-(benzotriazol-1-yl)-N,N,N',N'-tetramethyluronium tetrafluoroborate and the reaction mixture was stirred at room temperature overnight to give, after workup and silica gel chromatog., 74% Et (2S)-3-[4-[2-[butyl[2-fluoro-4-(trifluoromethy1)benzy1]amino]-2-oxoethoxy]pheny1]-2-ethoxypropanoate (II). A solution of 0.748 g II in 70 mL MeCN was treated with 35 mL 0.10 M LiOH and the reaction mixture was stirred at room temperature overnight, neutralized with 5% HCl, concentrated, acidified with 5% HCl, and extracted

EtOAc to give 97% (2S)-3-[4-[2-[butyl[2-fluoro-4-

(trifluoromethyl)benzyl]amino]-2-oxoethoxy]phenyl]-2-ethoxypropanoic acid (III). III showed EC50 of 0.001 μmol/L for human PPArα.

TТ 549532-36-7P, Ethyl (2S)-2-ethoxy-3-[4-[2-[hexyl(2-

phenylethyl) amino] -2-oxoethoxy]phenyl]propanoate

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of phenoxyacetamide derivs. as modulators of peroxisome proliferator-activated receptors for treating metabolic disorder)

RN 549532-36-7

CN Benzenepropanoic acid, α-ethoxy-4-[2-[hexyl(2-phenylethyl)amino]-2oxoethoxy]-, ethyl ester, (aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 549532-35-6P, (2S)-2-Ethoxy-3-[4-[2-[hexyl(2-phenylethyl)amino]-2oxoethoxy]phenyl]propanoic acid

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of phenoxyacetamide derivs. as modulators of peroxisome proliferator-activated receptors for treating metabolic disorder)

RN 549532-35-6 CAPLUS

Benzenepropanoic acid,  $\alpha$ -ethoxy-4-[2-[hexyl(2-phenylethyl)amino]-2-CN oxoethoxy] -,  $(\alpha S)$  - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

CAPLUS COPYRIGHT 2007 ACS on STN ANSWER 4 OF 7

ACCESSION NUMBER:

2004:1127321 CAPLUS

DOCUMENT NUMBER:

142:49239

TITLE:

SOURCE:

LANGUAGE:

Pharmaceutically useful salts (2S)-2-ethoxy-3-(4-

{2[hexyl(2-phenylethyl)amino]-2-

oxoethoxy}phenyl)propanoic acid, preparation thereof,

and therapeutic use

INVENTOR(S):

Ragnar, Ralf; Stahle, Erica

PATENT ASSIGNEE(S):

Astrazeneca AB, Swed. PCT Int. Appl., 38 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

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PATENT NO.
                         KIND
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                                            APPLICATION NO.
                                                                    DATE
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PRIORITY APPLN. INFO.:
                                            GB 2003-14136
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                                                                    20030618
                                            WO 2004-SE965
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     The invention discloses a calcium or magnesium salt of
AB
     (2S) -2-ethoxy-3-(4-\{2 [hexyl(2-phenylethyl) amino]-2-
     oxoethoxy}phenyl)propanoic acid. Compds. of the invention (preparation
     included) may be used to treat e.g. dyslipidemia and type 2 diabetes.
     549532-35-6DP, complexes with magnesium
     RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic
    preparation); THU (Therapeutic use); BIOL (Biological study); PREP
     (Preparation); USES (Uses)
        ((2S)-2-ethoxy-3-(4-{2 [hexyl(2-phenylethyl)amino]-2-}
        oxoethoxy}phenyl)propanoic acid salts, preparation, and therapeutic use)
RN
     549532-35-6 CAPLUS
CN
     Benzenepropanoic acid, α-ethoxy-4-[2-[hexyl(2-phenylethyl)amino]-2-
     oxoethoxy]-, (\alpha S)- (9CI) (CA INDEX NAME)
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Absolute stereochemistry.

oxoethoxy]-, calcium salt, (\alpha S)- (9CI) (CA INDEX NAME)

## ●1/2 Ca

ΙT 549532-35-6P 549532-36-7P

> RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

 $((2S)-2-ethoxy-3-(4-\{2[hexyl(2-phenylethyl)amino]-2-$ 

oxoethoxy}phenyl)propanoic acid salts, preparation, and therapeutic use)

RN549532-35-6 CAPLUS

Benzenepropanoic acid, α-ethoxy-4-[2-[hexyl(2-phenylethyl)amino]-2-CN oxoethoxy] -,  $(\alpha S)$  - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN549532-36-7 CAPLUS

CN Benzenepropanoic acid, α-ethoxy-4-[2-[hexyl(2-phenylethyl)amino]-2oxoethoxy] -, ethyl ester, (\alpha S) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT:

3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

CAPLUS COPYRIGHT 2007 ACS on STN ANSWER 5 OF 7

ACCESSION NUMBER:

2004:1127320 CAPLUS

DOCUMENT NUMBER:

142:49238

TITLE:

Pharmaceutically useful salts of (2S)-2-ethoxy-3-[4-(2-

(hexyl(2-phenylethyl)amino)-2-

oxoethoxy)phenyl]propanoic acid, their preparation,

and their therapeutic use

INVENTOR(S):

Aurell, Carl-Johan; Dahlstroem, Mikael;

Lindstedt-Alstermark, Eva-Lotte; Minidis, Anna;

Ohlsson, Bengt; Stahle, Erica

PATENT ASSIGNEE(S):

PCT Int. Appl., 47 pp.

Astrazeneca AB, Swed. SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE:

Patent English

LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

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PRIORITY APPLN. INFO.:
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                                           WO 2004-SE964
                                                               W 20040616
AB
     The invention discloses salts of (2S)-2-ethoxy-3-[4-(2-(hexyl(2-
     phenylethyl)amino)-2-oxoethoxy)phenyl]propanoic acid e.g. the L-arginine
     salt. Preparation of compds. of the invention is described. The compds. of
     the invention are useful in the treatment of e.g. dyslipidemias and other
     manifestations of the metabolic syndrome.
IT
     810676-88-1P 810676-89-2P 810676-90-5P
     810676-93-8P
     RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic
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preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(Pharmaceutically useful salts of (2S)-2-ethoxy-3-[4-(2-(hexyl(2phenylethyl)amino)-2-oxoethoxy)phenyl]propanoic acid, their preparation, and their therapeutic use)

RN 810676-88-1 CAPLUS

CN Benzenepropanoic acid,  $\alpha$ -ethoxy-4-[2-[hexyl(2-phenylethyl)amino]-2oxoethoxy]-, (aS)-, compd. with (1R,2S)-1-amino-2,3-dihydro-1H-inden-2-ol (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 549532-35-6 CMF C27 H37 N O5

CM 2

CRN 136030-00-7 CMF C9 H11 N O

Absolute stereochemistry. Rotation (+).

RN 810676-89-2 CAPLUS

CN L-Arginine, mono[( $\alpha$ S)- $\alpha$ -ethoxy-4-[2-[hexyl(2-phenylethyl)amino]-2-oxoethoxy]benzenepropanoate] (9CI) (CA INDEX NAME)

CM 1

CRN 549532-35-6 CMF C27 H37 N O5

Absolute stereochemistry.

CM 2

CRN 74-79-3 CMF C6 H14 N4 O2

Absolute stereochemistry.

$$H_2N$$
 $NH$ 
 $H_2N$ 
 $NH$ 
 $H$ 
 $NH_2$ 
 $NH_2$ 

RN 810676-90-5 CAPLUS

CN Benzenepropanoic acid, α-ethoxy-4-[2-[hexyl(2-phenylethyl)amino]-2-oxoethoxy]-, (αS)-, compd. with 2-methyl-2-propanamine (1:1) (9CI)

(CA INDEX NAME)

CM 1

CRN 549532-35-6 CMF C27 H37 N O5

Absolute stereochemistry.

CM 2

CRN 75-64-9 CMF C4 H11 N

RN 810676-93-8' CAPLUS

CN Benzenepropanoic acid,  $\alpha$ -ethoxy-4-[2-[hexyl(2-phenylethyl)amino]-2-oxoethoxy]-, ( $\alpha$ S)-, compd. with N-(phenylmethyl)benzeneethanamine (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 549532-35-6 CMF C27 H37 N O5

Absolute stereochemistry.

CM 2

CRN 3647-71-0 CMF C15 H17 N

 $Ph-CH_2-CH_2-NH-CH_2-Ph$ 

IT 810676-91-6 810676-92-7 810676-94-9 810676-96-1

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (Pharmaceutically useful salts of (2S)-2-ethoxy-3-[4-(2-(hexyl(2-phenylethyl)amino)-2-oxoethoxy)phenyl]propanoic acid, their preparation, and their therapeutic use)

RN 810676-91-6 CAPLUS

CN Ethanaminium, 2-hydroxy-N,N,N-trimethyl-, salt with  $(\alpha S)$ - $\alpha$ - ethoxy-4-[2-[hexyl(2-phenylethyl)amino]-2-oxoethoxy]benzenepropanoic acid (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 810676-95-0 CMF C27 H36 N O5

Absolute stereochemistry.

CM 2

CRN 62-49-7 CMF C5 H14 N O

 $Me_3+N-CH_2-CH_2-OH$ 

RN 810676-92-7 CAPLUS

CN Benzenepropanoic acid, α-ethoxy-4-[2-[hexyl(2-phenylethyl)amino]-2oxoethoxy]-, (αS)-, compd. with tricyclo[3.3.1.13,7]decan-1-amine
(1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 549532-35-6 CMF C27 H37 N O5

Absolute stereochemistry.

CM 2

CRN 768-94-5 CMF C10 H17 N

RN 810676-94-9 CAPLUS

CN Benzenepropanoic acid,  $\alpha$ -ethoxy-4-[2-[hexyl(2-phenylethyl)amino]-2-oxoethoxy]-, ( $\alpha$ S)-, compd. with N,N'-bis(phenylmethyl)-1,2-ethanediamine (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 549532-35-6 CMF C27 H37 N O5

Absolute stereochemistry.

CM 2

CRN 140-28-3 CMF C16 H20 N2

Ph-CH2-NH-CH2-CH2-NH-CH2-Ph

RN 810676-96-1 CAPLUS

CN Methanaminium, 1-hydroxy-N,N-bis(hydroxymethyl)-N-methyl-, salt with  $(\alpha S) - \alpha - \text{ethoxy-4-}[2-[\text{hexyl}(2-\text{phenylethyl})\,\text{amino}]-2-$  oxoethoxy]benzenepropanoic acid (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 810676-95-0 CMF C27 H36 N O5

Absolute stereochemistry.

CM 2

CRN 14433-29-5 CMF C4 H12 N O3

$$^{\mathrm{Me}}$$
  $^{\mathrm{Ho}-\mathrm{CH}_2-\mathrm{N}^+-\mathrm{CH}_2-\mathrm{OH}}$   $^{\mathrm{CH}_2-\mathrm{OH}}$ 

IT 549532-35-6P 549532-36-7P

> RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(Pharmaceutically useful salts of (2S)-2-ethoxy-3-[4-(2-(hexyl(2-

phenylethyl)amino)-2-oxoethoxy)phenyl]propanoic acid, their preparation, and

their therapeutic use)

RN549532-35-6 CAPLUS

CN Benzenepropanoic acid, α-ethoxy-4-[2-[hexyl(2-phenylethyl)amino]-2oxoethoxy] -,  $(\alpha S)$  - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN549532-36-7 CAPLUS

CN Benzenepropanoic acid, α-ethoxy-4-[2-[hexyl(2-phenylethyl)amino]-2oxoethoxy]-, ethyl ester,  $(\alpha S)$ - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT:

3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 6 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

2004:1127318 CAPLUS

DOCUMENT NUMBER:

142:56001

TITLE:

Preparation of (2S)-3-(4-{2-[amino]-2-

oxoethoxy}phenyl)-2-ethoxypropanoic acid derivatives

INVENTOR(S): Aurell, Carl-Johan; Macedo, Emmanuel; Minidis, Anna;

Yousefi-Salakdeh, Esmail

PATENT ASSIGNEE(S):

Astrazeneca Ab, Swed. PCT Int. Appl., 16 pp.

SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	PATENT NO.					KIND DATE				APPLICATION NO.						DATE			
							WO 2004-SE966												
		W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB	BG,	BR,	BW,	BY,	BZ,	CA,	CH,	
•	•		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ	EC,	ΕĖ,	EG,	ES,	FI,	GB,	GD,	
			GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS	, JP,	KE,	KG,	KP,	KR,	KZ,	LC,	
			LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG	, MK,	MN,	MW,	MX,	MZ,	NA,	NI,	
			NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU	, sc,	SD,	SE,	SG,	SK,	SL,	SY,	
			TJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US	, UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW	
		RW:	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SD	, SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	
			ΑZ,	BY,	KG,	KZ,	MD,	RU,	ТJ,	TM,	ΑT	, BE,	BG,	CH,	CY,	CZ,	DE,	DK,	
			EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE;	II	LU,	MC,	NL,	PL,	.PT,	RO,	SE,	
			SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM	I, GA,	GN,	GQ,	GW,	ML,	MR,	NE,	
			SN,	TD,	TG														
												2004-							
	CA	2528	933			A1		2004	1223	,	CA	2004-	2528	933		2	0040	616	
	ΕP	1638	920			A1		2006	0329		EP.	2004-	7369	58		. 2	0040	616	
		R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR	2, IT,	LI,	LU,	NL,	SE,	MC,	PT,	
			ΙE,	SI,	LT,	LV,	FI,	RO,	CY,	TR,	BG	cz,	EE,	HU,	PL,	SK,	HR		
	CN	1809	528			Α		2006	0726		CN	2004-	8001	7131		2	0040	616	
	BR	2004	0115	58		A		2006				2004-							
	JP	3822	901			В2		2006			JP	2006-	5170	41		2	0040	616	
	JP	2006	5277	68		${f T}$		2006	1207										
	NO	2005	0059	24 .		A		2006	0105		NO	2005-	5924			2	0051	213	
	US	2006	1423	92		A1		2006	0629		US	2005-	5607	64		2	0051	213	
PRIOF	ZIT?	APP	LN.	INFO	.:						GB	2003-	1413	4		A 2	0030	618	
											WO	2004-	SE96	6		W 2	0040	616	
OTHER	R SC	URCE	(s):			MAR	PAT	142:	5600	1									

OTHER SOURCE(S): MARPAT 142:56001

$$\begin{array}{c|c}
 & O \\
 & CH_2 \\
 & 2 \\
 & R_1
\end{array}$$

$$\begin{array}{c}
 & O \\
 & Me \\
 & OR
\end{array}$$

The present invention provides a process for preparation of the title compds. I (R = H, R1 = n-C6H13) by reacting I (R = H, or protecting group, R1 = H) with C6H13X (X = leaving group) in the presence of a base and inert solvent at a temperature in the range -25°C to 150°C and optionally, when OR represents a protecting group, removal of the protecting group.

I

IT 549532-35-6P 810677-36-2P

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)

(asym. preparation of (2S)-ethoxy[[[hexyl(phenethyl)amino]oxoethoxy]phenyl]propanoic acid)

RN 549532-35-6 CAPLUS

CN Benzenepropanoic acid,  $\alpha$ -ethoxy-4-[2-[hexyl(2-phenylethyl)amino]-2-oxoethoxy]-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

810677-36-2 CAPLUS RN

CNBenzenepropanoic acid, α-ethoxy-4-[2-[hexyl(2-phenylethyl)amino]-2oxoethoxy] - (9CI) (CA INDEX NAME)

Me- 
$$(CH_2)_5$$
 - N- C-  $CH_2$  -  $CH_2$ 

REFERENCE COUNT:

5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 7 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

2003:491168 CAPLUS

DOCUMENT NUMBER:

139:69049

TITLE:

Preparation of substituted phenylpropionic acid

derivatives as agonists to human peroxisome proliferator-activated receptor alpha (PPAR) Alstermark Lindstedt, Eva-Lotte; Olsson, Anna

Christina; Li, Lanna

PATENT ASSIGNEE(S):

Astrazeneca AB, Swed.; Astrazeneca UK Limited

SOURCE:

INVENTOR (S):

PCT Int. Appl., 40 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PA'	TENT	NO.			KIN	D	DATE									ATE	
WO 2003051821			A1	20030626			WO 2002-GB5738										
		ΑE,															
											EE,						
											KG,						
											MW,						
											SL,						
								YU,				•			·	•	•
	RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,
		KG,	KZ,	MD,	RU,	ТJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,
											PT,						
											MR,						•
CA	2470	491			A1		2003	0626	CA 2002-2470491								
ΑU	2002	3663	15		A1		2003	0630	AU 2002-366315						2	0021	218
ΕP	1458	673			<b>A1</b>		2004	0922	EP 2002-804964						2	0021	218
	1458																
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
		ΙE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR,	BG,	CZ,	EE,	SK	·	•
							CY, AL, TR, BG, CZ, EE, BR 2002-14988										

HU	200402133	A2	20050228	HU	2004-2133		20021218
CN	1620422	A	20050525	CN	2002-828123		20021218
CN	1620423	A	20050525	CN	2002-828155		20021218
US	2005171204	A1	20050804	US	2003-499261		20021218
JP	2005526011	T	20050902	JP	2003-552709		20021218
JP	3784804	B2	20060614				
TW	253444	В	20060421	TW	2002-91136518		20021218
NZ	533276	Α	20060428	NZ	2002-533276		20021218
TW	255807	В	20060601	TW	2002-91136519		20021218
AT	338743	T	20060915	AΤ	2002-804964		20021218
CN	1896045	A	20070117	CN	2006-10007173		20021218
ZA	2004004657	A	20050829	ZA	2004-4657		20040611
ZA	2004004658	A	20060222	ZA	2004-4658		20040611
NO	2004003023	A	20040715	NO	2004-3023		20040715
US	2005282822	A1	20051222	US	2004-26806		20041230
JP	2005336209	A	20051208	JP	2005-235794		20050816
JP	2006298924	A	20061102	JP	2006-123399		20060427
PRIORITY	Y APPLN. INFO.:			SE	2001-4334	Α	20011219
				CN	2002-828123	A3	20021218
				JP	2003-552709	<b>A3</b>	20021218
				JΡ	2003-552710	Α3	20021218
	•			WO	2002-GB5738	W	20021218
				WO	2002-GB5744	Α	20021218
				GB	2002-29931	Α	20021221
				GB	2003-14079	A	20030618
	•			WO	2003-GB305602	A	20031219
				WO	2004-EP6597	A	20040617
				US	2005-499261	A2	20050304

OTHER SOURCE(S):

MARPAT 139:69049

$$Ph - CH_2 - N - CO - CH_2 - O - CH_2 - CH_$$

The S enantiomer of I, n = 1 or 2, (C6H13 = hexyl) as well as their pharmaceutically acceptable salts, solvates, crystalline forms and prodrugs are synthesized using various solvents and in presence of charcoal-supported palladium catalyst. The utility of these compds. in clin. conditions such as lipid disorders (dyslipidemias) whether or not associated with insulin resistance and therapeutic and other pharmaceutical activities is also investigated. For example, (2S)-3-(4{2-[benzyl(hexyl)amino]-2-oxoethoxy}phenyl)2-ethoxypropionic acid was prepared in 58% yield via reaction of (2S)-2-ethoxy-3-(4-hydroxyphenyl)propanoate and benzyl bromoacetate.

IT 549532-35-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of enantiomeric substituted phenylpropionic acid derivs. as agonists to human peroxisome proliferator-activated receptor)

RN 549532-35-6 CAPLUS

CN Benzenepropanoic acid,  $\alpha$ -ethoxy-4-[2-[hexyl(2-phenylethyl)amino]-2-oxoethoxy]-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

IT 549532-36-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of enantiomeric substituted phenylpropionic acid derivs. as agonists to human peroxisome proliferator-activated receptor)

RN 549532-36-7 CAPLUS

CN Benzenepropanoic acid, α-ethoxy-4-[2-[hexyl(2-phenylethyl)amino]-2oxoethoxy]-, ethyl ester, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT:

THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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LOGOFF? (Y)/N/HOLD:y
STN INTERNATIONAL LOGOFF AT 17:53:44 ON 08 FEB 2007

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